

10/560,823process

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

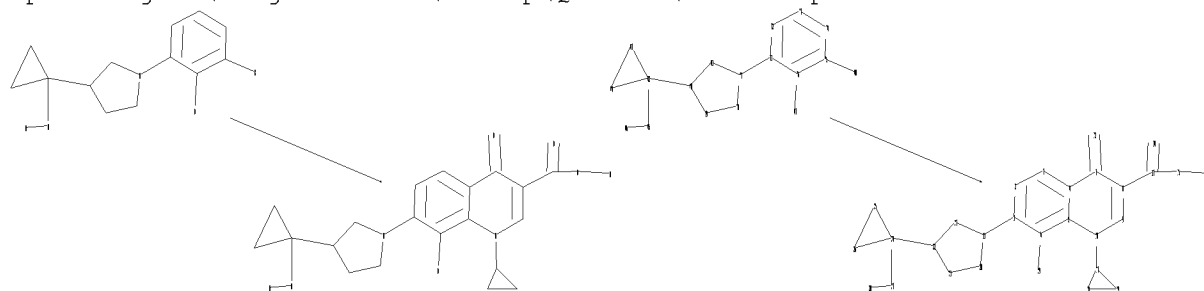
* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 10:19:32 ON 22 JAN 2009

=> file casreact

=>

Uploading C:\Program Files\Stnexp\Queries\10560823process.str



chain nodes :

12 13 14 15 16 27 28 29 45 46 47 48

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 17 18 19 20 21 22 23 24 25 26 31 32
33 34 35 36 37 38 39 40 41 42 43 44

chain bonds :

1-11 6-29 7-12 8-13 10-17 13-14 13-15 15-16 22-24 24-27 27-28 31-37
35-48 36-47 40-42 42-45 45-46

ring bonds :

1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-10 7-8 8-9 9-10 11-20 11-23 17-18 17-19
18-19 20-21 21-22 22-23 24-25 24-26 25-26 31-32 31-36 32-33 33-34 34-35
35-36 37-38 37-41 38-39 39-40 40-41 42-43 42-44 43-44

exact/norm bonds :

1-11 4-7 5-10 6-29 7-8 7-12 8-9 9-10 10-17 11-20 11-23 24-27 31-37
36-47 37-38 37-41 38-39 39-40 40-41 42-43 42-44 42-45 43-44

exact bonds :

8-13 15-16 17-18 17-19 18-19 20-21 21-22 22-23 22-24 24-25 24-26 25-26
27-28 35-48 40-42 45-46

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normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-15 31-32 31-36 32-33 33-34 34-35
35-36

isolated ring systems :

containing 1 : 11 : 17 : 24 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:Atom 18:Atom
19:Atom 20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:Atom 27:CLASS
28:CLASS 29:CLASS 31:Atom 32:Atom 33:Atom 34:Atom 35:Atom 36:Atom 37:Atom
38:Atom 39:Atom 40:Atom 41:Atom 42:Atom 43:Atom 44:Atom 45:CLASS 46:CLASS
47:CLASS 48:CLASS

fragments assigned product role:

containing 1

fragments assigned reactant/reagent role:

containing 31

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sam

SAMPLE SEARCH INITIATED 10:20:11 FILE 'CASREACT'

SCREENING COMPLETE - 0 REACTIONS TO VERIFY FROM 0 DOCUMENTS

100.0% DONE 0 VERIFIED 0 HIT RXNS 0 DOCS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED VERIFICATIONS: 0 TO 0

PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1 (0 REACTIONS)

=> s l1 full

FULL SEARCH INITIATED 10:20:15 FILE 'CASREACT'

SCREENING COMPLETE - 13 REACTIONS TO VERIFY FROM 2 DOCUMENTS

100.0% DONE 13 VERIFIED 0 HIT RXNS 0 DOCS

SEARCH TIME: 00.00.01

L3 0 SEA SSS FUL L1 (0 REACTIONS)

=> file react

10/560,823process

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	123.13	123.35

FILE 'CASREACT' ENTERED AT 10:20:21 ON 22 JAN 2009
USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT
COPYRIGHT (C) 2009 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'CHEMINFORMRX' ENTERED AT 10:20:21 ON 22 JAN 2009
COPYRIGHT (C) FIZ-CHEMIE BERLIN

FILE 'DJSMONLINE' ENTERED AT 10:20:21 ON 22 JAN 2009
COPYRIGHT (C) 2009 THOMSON REUTERS

FILE 'PS' ENTERED AT 10:20:21 ON 22 JAN 2009
COPYRIGHT (C) 2009 Thieme on STN

=> s l1 full

FULL SEARCH INITIATED 10:20:24 FILE 'CASREACT'
SCREENING COMPLETE - 13 REACTIONS TO VERIFY FROM 2 DOCUMENTS

100.0% DONE 13 VERIFIED 0 HIT RXNS 0 DOCS
SEARCH TIME: 00.00.01

FULL SEARCH INITIATED 10:20:25 FILE 'CHEMINFORMRX'
SCREENING COMPLETE - 0 REACTIONS TO VERIFY FROM 0 DOCUMENTS

100.0% DONE 0 VERIFIED 0 HIT RXNS 0 DOCS
SEARCH TIME: 00.00.01

FULL SEARCH INITIATED 10:20:28 FILE 'DJSMONLINE'
SCREENING COMPLETE - 0 REACTIONS TO VERIFY FROM 0 DOCUMENTS

100.0% DONE 0 VERIFIED 0 HIT RXNS 0 DOCS
SEARCH TIME: 00.00.01

FULL SEARCH INITIATED 10:20:29 FILE 'PS'
SCREENING COMPLETE - 0 REACTIONS TO VERIFY FROM 0 DOCUMENTS

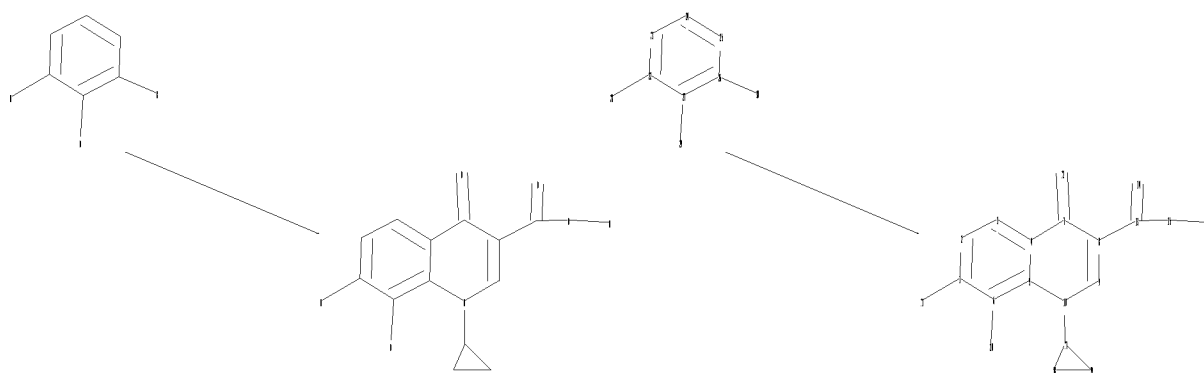
100.0% DONE 0 VERIFIED 0 HIT RXNS 0 DOCS
SEARCH TIME: 00.00.01

L4 0 L1

=>

Uploading C:\Program Files\Stnexp\Queries\823process2.str

10/560,823process



```
chain nodes :
12 13 14 15 16 20 29 30
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 17 18 19 22 23 24 25 26 27 28
chain bonds :
1-11 6-20 7-12 8-13 10-17 13-14 13-15 15-16 22-28 26-30 27-29
ring bonds :
1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-10 7-8 8-9 9-10 17-18 17-19 18-19 22-23
22-27 23-24 24-25 25-26 26-27
exact/norm bonds :
1-11 4-7 5-10 6-20 7-8 7-12 8-9 9-10 10-17 22-28 27-29
exact bonds :
8-13 15-16 17-18 17-19 18-19 26-30
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-15 22-23 22-27 23-24 24-25 25-26
26-27
isolated ring systems :
containing 1 : 11 : 17 : 22 :
```

```
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:Atom 18:Atom
19:Atom 20:CLASS 22:Atom 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom 28:Atom
29:CLASS 30:CLASS
```

10/560,823process

L5 STRUCTURE UPLOADED

=> d 15

L5 HAS NO ANSWERS

L5 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s 15 full

FULL SEARCH INITIATED 10:22:27 FILE 'CASREACT'

SCREENING COMPLETE - 2009 REACTIONS TO VERIFY FROM 94 DOCUMENTS

100.0% DONE 2009 VERIFIED 0 HIT RXNS 0 DOCS
SEARCH TIME: 00.00.01

FULL SEARCH INITIATED 10:22:28 FILE 'CHEMINFORMRX'

SCREENING COMPLETE - 32 REACTIONS TO VERIFY FROM 6 DOCUMENTS

100.0% DONE 32 VERIFIED 0 HIT RXNS 0 DOCS
SEARCH TIME: 00.00.03

FULL SEARCH INITIATED 10:22:32 FILE 'DJSMONLINE'

SCREENING COMPLETE - 0 REACTIONS TO VERIFY FROM 0 DOCUMENTS

100.0% DONE 0 VERIFIED 0 HIT RXNS 0 DOCS
SEARCH TIME: 00.00.01

FULL SEARCH INITIATED 10:22:33 FILE 'PS'

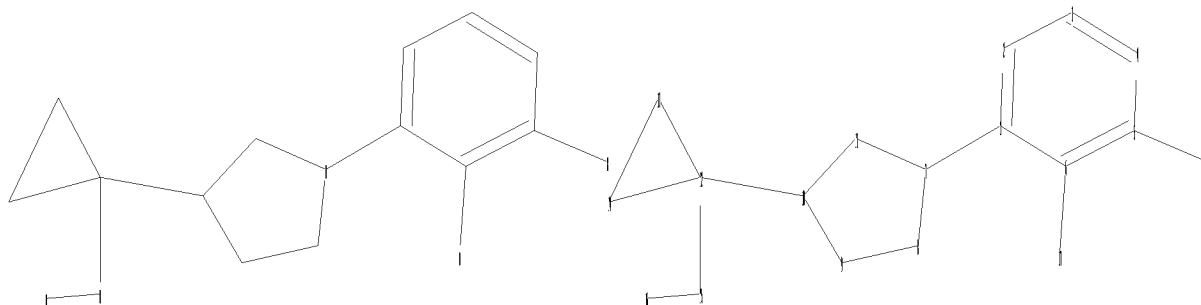
SCREENING COMPLETE - 4 REACTIONS TO VERIFY FROM 2 DOCUMENTS

100.0% DONE 4 VERIFIED 0 HIT RXNS 0 DOCS
SEARCH TIME: 00.00.01

L6 0 L5

=> file reg

Uploading C:\Program Files\Stnexp\Queries\823cmpd2.str



chain nodes :

15 16 17 18

10/560,823process

ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14
chain bonds :
1-7 5-18 6-17 10-12 12-15 15-16
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-11 8-9 9-10 10-11 12-13 12-14 13-14
exact/norm bonds :
1-7 6-17 7-8 7-11 8-9 9-10 10-11 12-13 12-14 12-15 13-14
exact bonds :
5-18 10-12 15-16
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

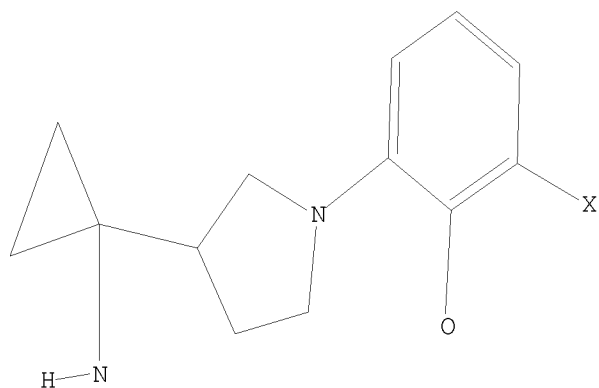
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:CLASS 16:CLASS 17:CLASS 18:CLASS

L7 STRUCTURE UPLOADED

=> d 17

L7 HAS NO ANSWERS

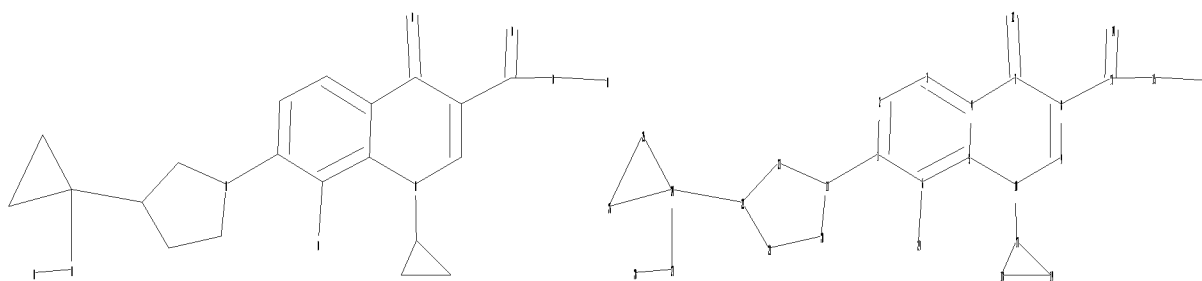
L7 STR



Structure attributes must be viewed using STN Express query preparation.

=>

Uploading C:\Program Files\Stnexp\Queries\10560823compound.str



```

chain nodes :
12 13 14 15 16 27 28 29
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 17 18 19 20 21 22 23 24 25 26
chain bonds :
1-11 6-29 7-12 8-13 10-17 13-14 13-15 15-16 22-24 24-27 27-28
ring bonds :
1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-10 7-8 8-9 9-10 11-20 11-23 17-18 17-19
18-19 20-21 21-22 22-23 24-25 24-26 25-26
exact/norm bonds :
1-11 4-7 5-10 6-29 7-8 7-12 8-9 9-10 10-17 11-20 11-23 24-27
exact bonds :
8-13 15-16 17-18 17-19 18-19 20-21 21-22 22-23 22-24 24-25 24-26 25-26
27-28
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-15
isolated ring systems :
containing 1 : 11 : 17 : 24 :

```

```

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:Atom 18:Atom
19:Atom 20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:Atom 27:CLASS
28:CLASS 29:CLASS

```

L8 STRUCTURE UPLOADED

=> s 17 full

L9 5 SEA SSS FUL L7

=> s 18 full

L10 19 SEA SSS FUL L8

=> file ca

10/560,823process

=> d his

(FILE 'HOME' ENTERED AT 10:19:32 ON 22 JAN 2009)

FILE 'CASREACT' ENTERED AT 10:19:43 ON 22 JAN 2009

L1 STRUCTURE UPLOADED

L2 0 S L1 SAM

L3 0 S L1 FULL

FILE 'CASREACT, CHEMINFORMRX, DJSMONLINE, PS' ENTERED AT 10:20:21 ON 22 JAN 2009

L4 0 S L1

L5 STRUCTURE UPLOADED

L6 0 S L5

FILE 'REGISTRY' ENTERED AT 10:24:33 ON 22 JAN 2009

L7 STRUCTURE UPLOADED

L8 STRUCTURE UPLOADED

L9 5 S L7 FULL

L10 19 S L8 FULL

FILE 'CA' ENTERED AT 10:25:29 ON 22 JAN 2009

=> s l9 full

L11 1 L9

=> s l10 full

L12 40 L10

=> s l11 and l12

L13 1 L11 AND L12

=> d ibib abs

L13 ANSWER 1 OF 1 CA COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 142:93693 CA

TITLE: Process for preparation of quinolinone derivatives

INVENTOR(S): Muto, Makoto; Kitagawa, Yutaka

PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 23 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2004113321	A1	20041229	WO 2004-JP8607	20040618
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,			

10/560,823process

AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
SN, TD, TG

EP 1634879 A1 20060315 EP 2004-746109 20040618

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK

US 20060122396 A1 20060608 US 2005-560823 20051215

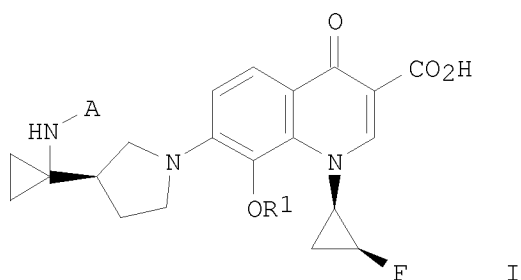
PRIORITY APPLN. INFO.:

JP 2003-175212 A 20030619

WO 2004-JP8607 W 20040618

OTHER SOURCE(S): MARPAT 142:93693

GI



AB This invention pertains to a method for position-selectively introducing an amino group into a difluorobenzoic acid compound; a novel process for producing quinolinone derivs. I [wherein A = a protecting group; R1 = alkyl]. For example, the compound I [where A = tert-BuO2C; R1 = Me] was prepared in a multi-step synthesis starting from 2,4-difluoro-3-methoxybenzoic acid and (3R)-3-[1-(tert-butoxycarbonylamino)cyclopropyl]pyrrolidine. This invention provides a convenient method for regioselective amination of difluorobenzoic acid compound

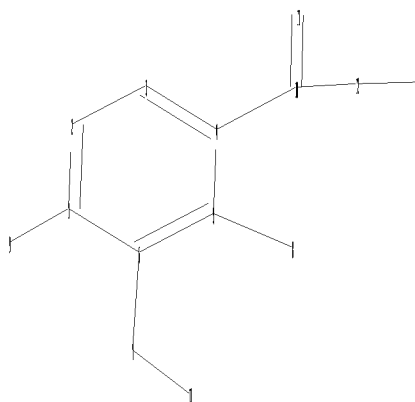
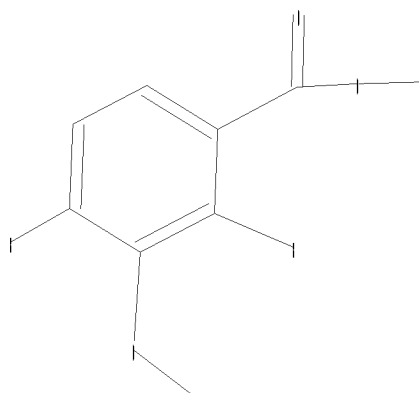
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> file reg

 \Rightarrow

Uploading C:\Program Files\Stnexp\Queries\phen.str

10/560,823process



```
chain nodes :
7 8 9 10 11 12 13 14
ring nodes :
1 2 3 4 5 6
chain bonds :
1-9 4-10 5-8 6-7 7-13 10-11 10-12 12-14
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
6-7 7-13 10-11 10-12 12-14
exact bonds :
1-9 4-10 5-8
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
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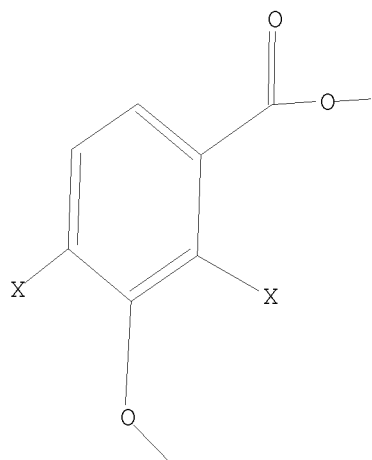
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Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS
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L14 STRUCTURE UPLOADED

=> d l14

L14 HAS NO ANSWERS

L14 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l14 full

L15 148 SEA SSS FUL L14

=> file ca

=> s l15

L16 88 L15

=> d his

(FILE 'HOME' ENTERED AT 10:19:32 ON 22 JAN 2009)

FILE 'CASREACT' ENTERED AT 10:19:43 ON 22 JAN 2009

L1 STRUCTURE UPLOADED

L2 0 S L1 SAM

L3 0 S L1 FULL

FILE 'CASREACT, CHEMINFORMRX, DJSMONLINE, PS' ENTERED AT 10:20:21 ON 22 JAN 2009

L4 0 S L1

L5 STRUCTURE UPLOADED

L6 0 S L5

FILE 'REGISTRY' ENTERED AT 10:24:33 ON 22 JAN 2009

L7 STRUCTURE UPLOADED

L8 STRUCTURE UPLOADED

L9 5 S L7 FULL

L10 19 S L8 FULL

FILE 'CA' ENTERED AT 10:25:29 ON 22 JAN 2009

L11 1 S L9 FULL

L12 40 S L10 FULL

L13 1 S L11 AND L12

10/560,823process

FILE 'REGISTRY' ENTERED AT 10:26:08 ON 22 JAN 2009
L14 STRUCTURE UPLOADED
L15 148 S L14 FULL

FILE 'CA' ENTERED AT 10:27:47 ON 22 JAN 2009
L16 88 S L15

=> s l16 and l12
L17 1 L16 AND L12

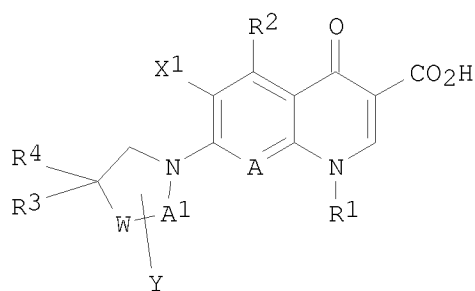
=> s l10/prep
40 L10
4701185 PREP/RL
L18 10 L10/PREP
(L10 (L) PREP/RL)

=> d 1-10 ibib abs fhitr

L18 ANSWER 1 OF 10 CA COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 149:493695 CA
TITLE: Method for producing quinolonecarboxylic acid
derivatives
INVENTOR(S): Sato, Koji; Sakuratani, Kenji
PATENT ASSIGNEE(S): Daiichi Sankyo Company, Limited, Japan
SOURCE: PCT Int. Appl., 32pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008126384	A1	20081023	WO 2008-JP817	20080331
W:	AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.: JP 2007-90650 A 20070330
OTHER SOURCE(S): CASREACT 149:493695; MARPAT 149:493695
GI



I

AB The title compds. I [A1 = (CH₂)_n; R1 = (un)substituted alkyl, (un)substituted cycloalkyl, (un)substituted Ph, etc.; R2 = (un)substituted amino, H, alkyl, etc.; X1 = H, halo; A = N, CX₂; X2 = H, cyano, halo, etc.; X2 and R1 and a part of the main nucleus may be united to form an (un)substituted ring; W = CHR₅, O, NR₆; R₅ = H, halo, (un)substituted alkyl, etc.; R₆ = H, alkyl, cycloalkyl; Y = H, alkyl, amino (connected to an optional C atom on the saturated hetero ring), etc.; n = 0 - 2; R₃, R₄ = H, halo, (amino-substituted) cycloalkyl, etc.; further details related to R₃ and R₄ are given] are prepared by reaction of a haloquinolonecarboxylic acid derivative with a cyclic amine salt and a boron derivative in a solvent in the presence of a base. I are antibacterials (no data). Thus, 1-cyclopropyl-1,4-dihydro-6-fluoro-8-methoxy-7-(3-methyl-1-piperazinyl)-4-oxo-3-quinolinecarboxylic acid was prepared by reaction of 1-cyclopropyl-6,7-difluoro-1,4-dihydro-8-methoxy-4-oxo-3-quinolinecarboxylic acid with 2-methylpiperazine dihydrochloride in acetonitrile containing triethylamine and BF₃-THF complex.

IT 817194-48-2P

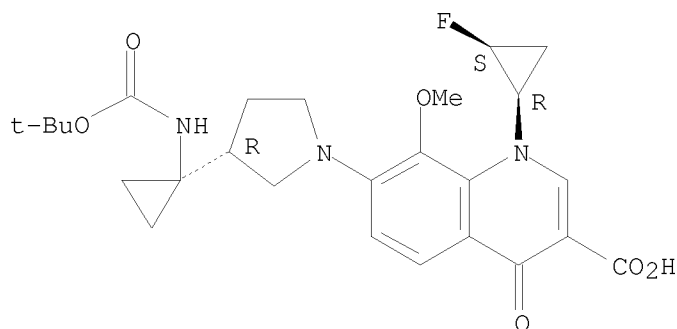
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(preparation of quinolonecarboxylic acid by reaction of haloquinolonecarboxylic acid with cyclic amine salt and boron compound in solvent in presence of base.)

RN 817194-48-2 CA

CN 3-Quinolinecarboxylic acid, 7-[(3R)-3-[1-[(1,1-dimethylethoxy)carbonyl]amino]cyclopropyl]-1-pyrrolidinyl]-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-8-methoxy-4-oxo- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 2 OF 10 CA COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 146:387110 CA
 TITLE: Method for production of quinolone-containing lyophilized preparation
 INVENTOR(S): Nishimoto, Norihiro
 PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 61pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007037330	A1	20070405	WO 2006-JP319307	20060928
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
EP 1930006	A1	20080611	EP 2006-810754	20060928
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS			
US 20080300403	A1	20081204	US 2008-67826	20080324
PRIORITY APPLN. INFO.:			JP 2005-282393	A 20050928
			WO 2006-JP319307	W 20060928

OTHER SOURCE(S): MARPAT 146:387110

AB Disclosed is a lyophilized preparation which contains only a quinolone-type synthetic anti-bacterial compound and a pH adjusting agent and has an excellent re-solubilizing property. Also disclosed is a method for production of a lyophilized preparation comprising a quinolone-type synthetic anti-bacterial compound as an active ingredient. The method comprises the steps of cooling an aqueous solution containing a quinolone-type synthetic anti-bacterial compound and a pH adjusting agent to yield a frozen material, increasing the temperature temporarily, and re-cooling the material to lyophilize the material.

IT 431058-65-0P

RL: IMF (Industrial manufacture); PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)

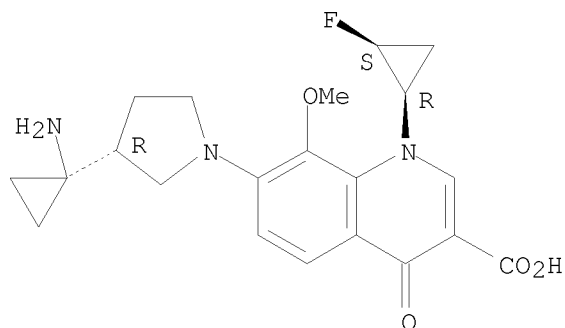
(manufacture of lyophilized preps. containing quinolone-type antibacterials)

RN 431058-65-0 CA

CN 3-Quinolonecarboxylic acid, 7-[(3R)-3-(1-aminocyclopropyl)-1-pyrrolidinyl]-

1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-8-methoxy-4-oxo- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 3 OF 10 CA COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 143:172685 CA
 TITLE: Preparation of rifamycin iminomethylenyl quinolone derivatives effective against drug-resistant microbes
 INVENTOR(S): Ding, Charles Z.; Jin, Yafei; Longgood, Jamie C.; Ma, Zhenkun; Li, Jing; Kim, In Ho; Minor, Keith P.; Harran, Susan
 PATENT ASSIGNEE(S): Cumbre Inc., USA
 SOURCE: PCT Int. Appl., 117 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005070941	A1	20050804	WO 2005-US838	20050112
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 20050209210	A1	20050922	US 2005-34279	20050112
US 7238694	B2	20070703		
EP 1723150	A1	20061122	EP 2005-705477	20050112
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR			
PRIORITY APPLN. INFO.:			US 2004-536018P	P 20040113

WO 2005-US838

W 20050112

OTHER SOURCE(S): CASREACT 143:172685; MARPAT 143:172685
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Rifamycin 3-iminomethylenyl (-CH=N-) derivs. of formula I [A = quinolone group; X = alkylene, arylene, heterocyclylene, CO, C=N, O, etc.; R = H, acetyl, etc.] are prepared which have antimicrobial activities, including activities against drug-resistant microorganisms. The claimed rifamycin derivative has a rifamycin moiety covalently linked to a linker through an iminomethylenyl (-CH = N-) group at the C-3 carbon of the rifamycin moiety and the linker is, in turn, covalently linked to a quinolone structure or its pharmacophore within the DNA gyrase and topoisomerase IV inhibitor family. The inventive rifamycins are novel and exhibit activity against both rifampin and ciprofloxacin-resistant microorganisms. Thus, II was prepared from ciprofloxacin and 3-formylrifamycin SV. The prepared compds. have MIC values of 0.06-16 mcg/mL against Staphylococcus aureus ATCC 29213 RpoBH418Y.

IT 861391-37-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation);

USES (Uses)

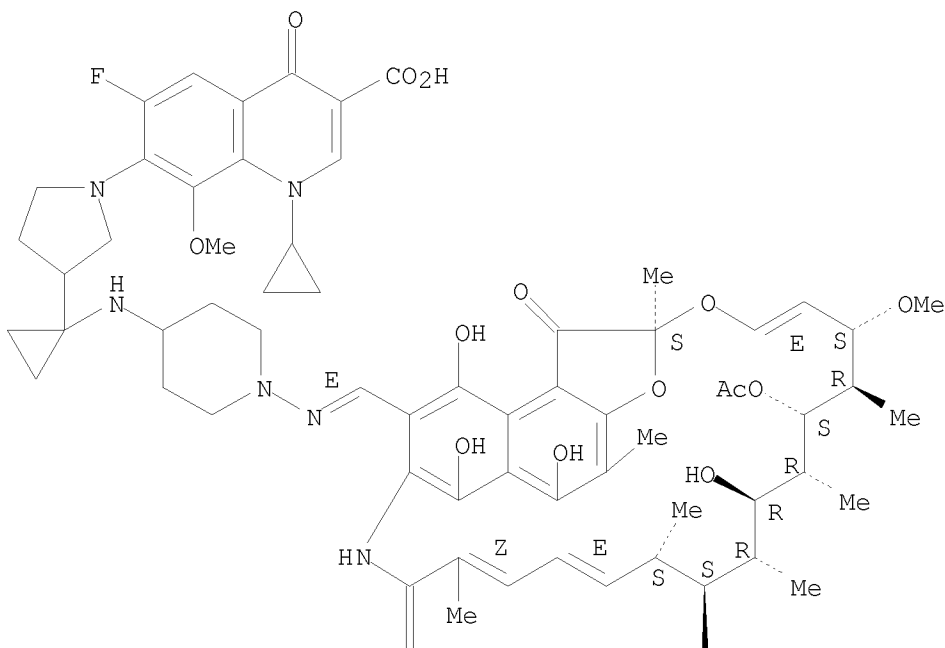
(preparation of rifamycin iminomethylene quinolone derivs. as antimicrobial agents)

RN 861391-37-9 CA

CN Rifamycin, 3-[(E)-[[4-[[1-[1-(3-carboxy-1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-4-oxo-7-quinolinyl)-3-pyrrolidinyl]cyclopropyl]amino]-1-piperidinyl]imino]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as described by E or Z.



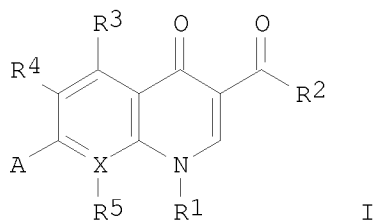
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 4 OF 10 CA COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 143:26640 CA
 TITLE: Preparation of quinolone antibacterial agents
 INVENTOR(S): Ellsworth, Edmund Lee; Taylor, Clarke Bentley; Murphy, Sean Timothy; Rauckhorst, Mark Ryan; Starr, Jeremy Tyson; Hutchings, Kim Marie; Limberakis, Chris; Hoyer, Denton Wade
 PATENT ASSIGNEE(S): Warner-Lambert Company LLC, USA
 SOURCE: PCT Int. Appl., 208 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005049602	A1	20050602	WO 2004-IB3666	20041105
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,				

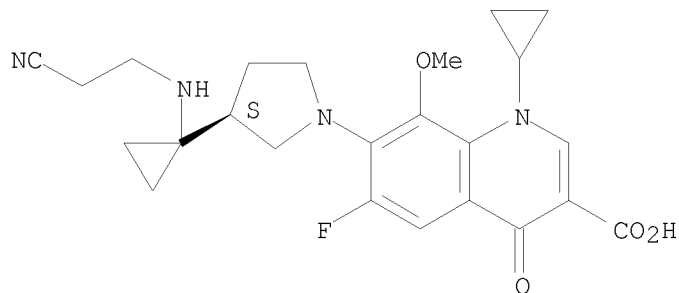
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
 GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
 LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
 NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
 TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
 AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
 EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO,
 SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
 NE, SN, TD, TG

NL 1027545 C2 20060117 NL 2004-1027545 20041118
 PRIORITY APPLN. INFO.: US 2003-523071P P 20031118
 US 2004-605496P P 20040831
 OTHER SOURCE(S): MARPAT 143:26640
 GI



AB Comps. of formula I, e.g., 7-[3-(2-Cyanoethylamino)pyrrolidin-1-yl]-1-cyclopropyl-6-fluoro-8-methoxy-4-oxo-1,4-dihydroquinoline-3-carboxylic acid, can be used in a variety of applications including use as antibacterial agents. The comps., method of treatment using the comps., and formulations containing the comps. are claimed. Methods of preparation of the comps. are exemplified. The comps. of the invention were tested against a variety of gram-neg. and gram-pos. organisms.
 IT 852857-63-7P
 RL: PAC (Pharmacological activity); RCT (Reactant); PREP (Preparation); THU (Therapeutic use); PREP (Preparation); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (drug candidate; preparation of quinolone antibacterial agents)
 RN 852857-63-7 CA
 CN 3-Quinolinecarboxylic acid, 7-[(3S)-3-[1-[(2-cyanoethyl)amino]cyclopropyl]-1-pyrrolidinyl]-1-cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-4-oxo- (CA INDEX NAME)

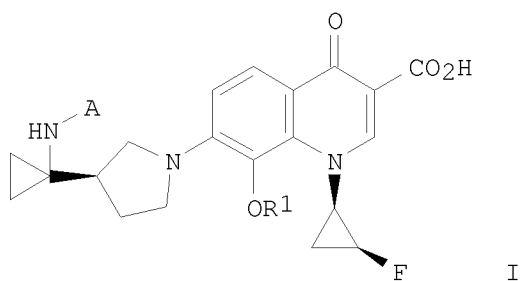
Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 5 OF 10 CA COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 142:93693 CA
 TITLE: Process for preparation of quinolinone derivatives
 INVENTOR(S): Muto, Makoto; Kitagawa, Yutaka
 PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 23 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004113321	A1	20041229	WO 2004-JP8607	20040618
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1634879	A1	20060315	EP 2004-746109	20040618
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
US 20060122396	A1	20060608	US 2005-560823	20051215
PRIORITY APPLN. INFO.:			JP 2003-175212	A 20030619
			WO 2004-JP8607	W 20040618
OTHER SOURCE(S):		MARPAT 142:93693		
GI				



AB This invention pertains to a method for position-selectively introducing an amino group into a difluorobenzoic acid compound; a novel process for producing quinolinone derivs. I [wherein A = a protecting group; R1 = alkyl]. For example, the compound I [where A = tert-BuO2C; R1 = Me] was prepared in a multi-step synthesis starting from 2,4-difluoro-3-methoxybenzoic acid and (3R)-3-[1-(tert-butoxycarbonylamino)cyclopropyl]pyrrolidine. This invention provides a convenient method for regioselective amination of difluorobenzoic acid compound

IT 817194-48-2P

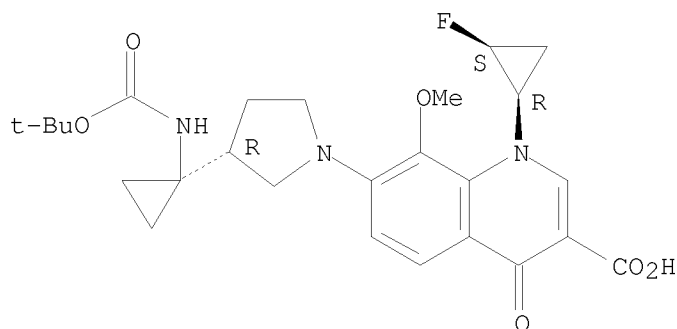
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(preparation of quinolinone derivs. via regioselective amination)

RN 817194-48-2 CA

CN 3-Quinolinecarboxylic acid, 7-[(3R)-3-[1-[[[1,1-dimethylethoxy)carbonyl]amino]cyclopropyl]-1-pyrrolidinyl]-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-8-methoxy-4-oxo- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

5

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 6 OF 10 CA COPYRIGHT 2009 ACS on STN

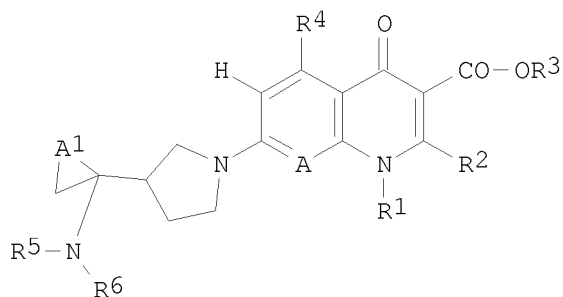
ACCESSION NUMBER: 136:401768 CA

TITLE: Preparation of dehalogenoquinolinecarboxylic acid derivatives, naphthyridine derivatives, and benzoxazine derivatives as antibacterial agents

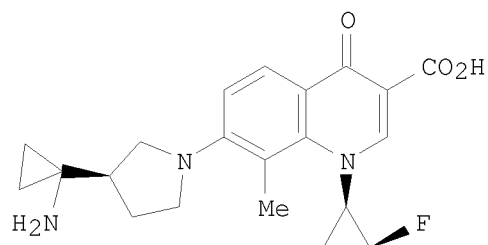
INVENTOR(S): Takahashi, Hisashi; Miyauchi, Rie; Itoh, Masao;

PATENT ASSIGNEE(S): Takemura, Makoto; Hayakawa, Isao
 SOURCE: Daiichi Pharmaceutical Co., Ltd., Japan
 PCT Int. Appl., 122 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002040478	A1	20020523	WO 2001-JP10086	20011119
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2429440	A1	20020523	CA 2001-2429440	20011119
AU 2002024050	A	20020527	AU 2002-24050	20011119
EP 1336611	A1	20030820	EP 2001-996540	20011119
EP 1336611	B1	20070905		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001015326	A	20040225	BR 2001-15326	20011119
JP 3711108	B2	20051026	JP 2002-543488	20011119
CN 1269817	C	20060816	CN 2001-822074	20011119
RU 2298006	C2	20070427	RU 2003-114743	20011119
AT 372338	T	20070915	AT 2001-996540	20011119
ES 2292642	T3	20080316	ES 2001-996540	20011119
IN 2003CN00734	A	20050415	IN 2003-CN734	20030514
NO 2003002255	A	20030721	NO 2003-2255	20030519
NO 326157	B1	20081013		
US 20040063754	A1	20040401	US 2003-432043	20030519
ZA 2003003871	A	20040819	ZA 2003-3871	20030519
MX 2003PA04437	A	20040504	MX 2003-PA4437	20030520
KR 777149	B1	20071119	KR 2003-706835	20030520
HK 1056729	A1	20080206	HK 2003-109128	20031215
JP 2004269544	A	20040930	JP 2004-156517	20040526
JP 2005194274	A	20050721	JP 2004-379455	20041228
JP 3760172	B2	20060329		
US 20070123560	A1	20070531	US 2006-644901	20061226
PRIORITY APPLN. INFO.:			JP 2000-352269	A 20001120
			JP 2001-248822	A 20010820
			JP 2002-543488	A3 20011119
			WO 2001-JP10086	W 20011119
			US 2003-432043	A1 20030519
OTHER SOURCE(S):	MARPAT 136:401768			
GI				



I



II

AB The title compds. I [R1 = alkyl, etc.; R2 = alkylthio, H; further detail on R1 and R2 is given; R3 = H, Ph, etc.; R4 = alkyl, etc.; A = N, etc.; R5, R6 = alkyl, etc.; A1 = (CH2)*n*; *n* = 1 or 2] are prepared. I exhibit broad and potent activity against gram-neg. and gram-pos. bacteria and against resistant bacteria. The title compound II in vitro showed MIC of 0.025 µg/mL against *P. aeruginosa* 32121. Formulations are given.

IT 431058-65-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation);

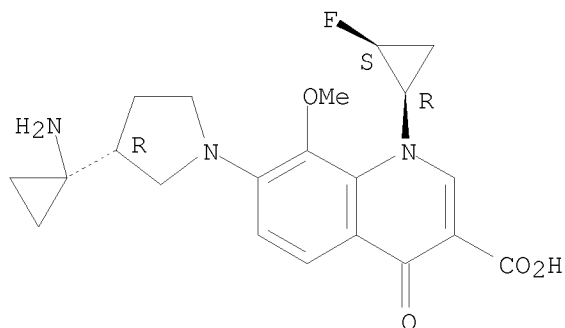
USES (Uses)

(preparation of dehalogenoquinolinecarboxylic acid derivs., naphthyridine derivs., and benzoxazine derivs. as antibacterial agents)

RN 431058-65-0 CA

CN 3-Quinolinecarboxylic acid, 7-[(3R)-3-(1-aminocyclopropyl)-1-pyrrolidinyl]-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-8-methoxy-4-oxo- (CA INDEX NAME)

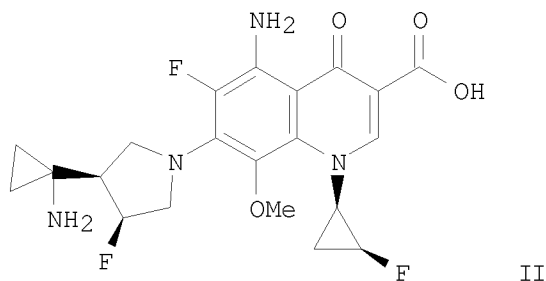
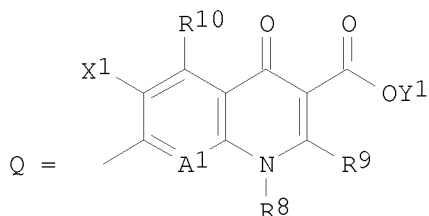
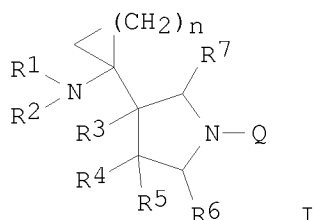
Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 7 OF 10 CA COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 133:237871 CA
 TITLE: Preparation of cis-substituted
 aminocycloalkylpyrrolidine derivatives of
 1,4-dihydro-4-oxoquinoline-3-carboxylic acids as
 antimicrobial drugs
 INVENTOR(S): Takemura, Makoto; Kimura, Youichi; Takahashi, Hisashi;
 Kimura, Kenichi; Miyauchi, Satoru; Ohki, Hitoshi;
 Sugita, Kazuyuki; Miyauchi, Rie
 PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan
 SOURCE: U.S., 67 pp., Cont.-in-part of Appl. No.
 PCT/JP96/03440.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6121285	A	20000919	US 1998-82155	19980521
WO 9719072	A1	19970529	WO 1996-JP3440	19961122
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9804273	A	19981125	ZA 1998-4273	19980520
US 6184388	B1	20010206	US 1999-397515	19990917
PRIORITY APPLN. INFO.:				
			JP 1995-304129	A 19951122
			JP 1996-192637	A 19960723
			WO 1996-JP3440	A2 19961122
			JP 1997-131413	A 19970521
			JP 1997-140643	A 19970529
			US 1998-82155	A1 19980521
OTHER SOURCE(S): MARPAT 133:237871				
GI				



AB The title compds. (I) [wherein R1, R6, and R7 = independently H or alkyl; R2 = H or (un)substituted alkyl; R3 = H, OH, halo, carbamoyl, alkyl, alkoxy, or alkylthio; one of R4 and R5 = H and the other is CH2OH, Me, OMe, or F; or R4 and R5 together = hydroxyimino, a polymethylene chain of 3-6 C's which form a spirocyclic structure together with the pyrrolidine ring or an alkoxyimino group; n = 1-3; R8 = (halo)alkyl, alkenyl, alkoxy, alkylamino, (un)substituted cycloalkyl or (hetero)aryl, etc.; R9 = H or alkylthio; X1 = H or halo; R10 = H, NH2, OH, SH, halomethyl, alkyl, alkenyl, or alkoxy; A1 = N or (un)substituted C; Y1 = H, Ph, acetoxymethyl, pivaloyloxymethyl, ethoxycarbonyl, etc.] were prepared I have excellent antimicrobial activity and are highly safe. Thus, 1-benzyloxycarbonyl-4-(R)-(1-tert-butoxycarbonylamino-cyclopropyl)-3-(S)-fluoropyrrolidine was dissolved in EtOH and hydrogenated using Pd/C. A solution of the residue and DMSO was mixed with TEA and 5-amino-6,7-difluoro-1-[2-(S)-fluoro-1-(R)-cyclopropyl]-1,4-dihydro-8-methoxy-4-oxoquinoline-3-carboxylic acid to give II (43%). II was tested on 13 microbial strains and showed potent inhibition with MIC values ranging from $\leq 0.003 \mu\text{g/mL}$ to $0.39 \mu\text{g/mL}$. In an acute toxicity test on male mice, none of the five mice died upon administration of 150 mg/kg doses of II.

IT 190954-09-7P

RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

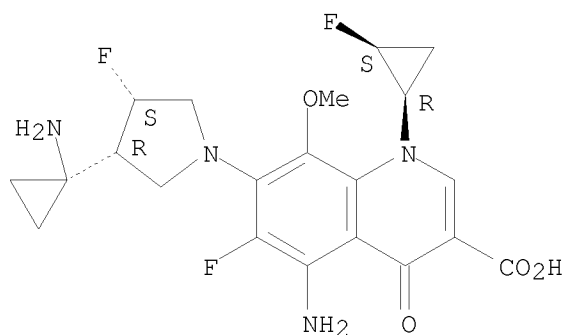
(preparation of 6-(aminocycloalkylpyrrolidinyl)-1,4-dihydro-4-oxoquinolines as antimicrobial agents by addition of

6-fluoro-1,4-dihydro-4-oxoquinolines to aminocycloalkylpyrrolidines)

RN 190954-09-7 CA

CN 3-Quinolinecarboxylic acid, 5-amino-7-[(3R,4S)-3-(1-aminocyclopropyl)-4-fluoro-1-pyrrolidinyl]-6-fluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-8-methoxy-4-oxo- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 8 OF 10 CA COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 130:13992 CA
 TITLE: Preparation and formulation of cis-disubstituted aminocycloalkylpyrrolidine moiety-containing quinoline and benzoxazine derivatives as bactericides
 INVENTOR(S): Takemura, Makoto; Takahashi, Hisashi; Sugita, Kazuyuki; Ohki, Hitoshi; Miyauchi, Satoru; Miyauchi, Rie
 PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 83 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9852939	A1	19981126	WO 1998-JP2219	19980520
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9804273	A	19981125	ZA 1998-4273	19980520
CA 2289605	A1	19981126	CA 1998-2289605	19980520
AU 9874493	A	19981211	AU 1998-74493	19980520
EP 1020459	A1	20000719	EP 1998-921738	19980520
EP 1020459	B1	20050406		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9810235	A	20010918	BR 1998-10235	19980520
IN 1998MA01076	A	20050304	IN 1998-MA1076	19980520
AT 292632	T	20050415	AT 1998-921738	19980520
NO 9905653	A	20000121	NO 1999-5653	19991118
MX 9910715	A	20000831	MX 1999-10715	19991119

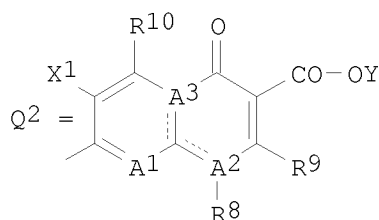
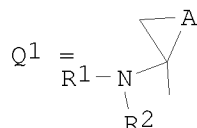
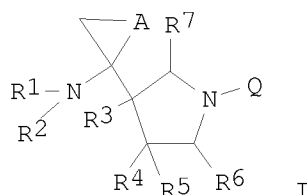
US 20020077345
PRIORITY APPLN. INFO.:

A1 20020620

US 2001-985256
JP 1997-131413
JP 1997-140643
WO 1998-JP2219
US 1999-424112

20011102
A 19970521
A 19970529
W 19980520
A1 19991119

OTHER SOURCE(S): MARPAT 130:13992
GI



AB The title compds. I [R1 represents hydrogen or alkyl; R2 represents hydrogen or alkyl; R3 and R5 represent each hydrogen; R4 represents hydroxy, halogeno, carbamoyl, alkyl, alkoxy or alkylthio; R6 and R7 represent each hydrogen or alkyl; A = (CH₂)_n; n is an integer of from 1 to 3; R4 and the substituent on the pyrrolidine ring of general formula Q1 are arranged at the cis-configuration; and Q is a partial structure represented by Q2; R8 = alkyl, etc.; R9 = H, etc.; further details on R9 and R8 are given; R10 = amino, etc.; X1 = halo, H; A1 = N, etc.; A2, A3 = N, C; further details on A2 and A3 are given; Y = H, etc.] are prepared Three compds. of this invention in vitro showed MIC values of 0.10 to 0.39 µg/mL against *P. aeruginosa* 32104.

IT 190954-09-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

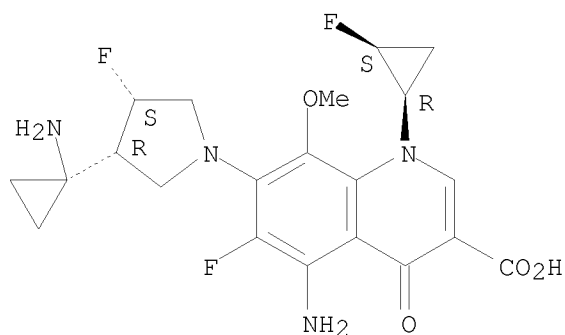
(preparation of cis-disubstituted aminocycloalkylpyrrolidine moiety-containing

quinoline and benzoxazine derivs. as bactericides)

RN 190954-09-7 CA

CN 3-Quinolinecarboxylic acid, 5-amino-7-[(3R,4S)-3-(1-aminocyclopropyl)-4-fluoro-1-pyrrolidinyl]-6-fluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-8-methoxy-4-oxo- (CA INDEX NAME)

Absolute stereochemistry.

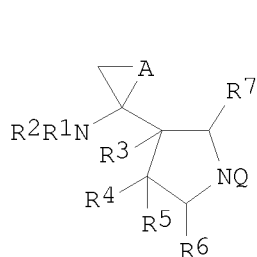


REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

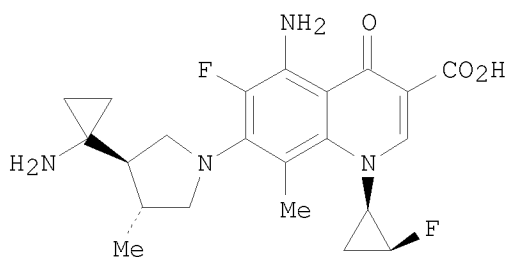
L18 ANSWER 9 OF 10 CA COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 127:50550 CA
 ORIGINAL REFERENCE NO.: 127:9645a,9648a
 TITLE: Preparation and formulation of substituted aminocycloalkylpyrrolidinylquinolines as medical bactericides
 INVENTOR(S): Takemura, Makoto; Kimura, Youichi; Takahashi, Hisashi; Kimura, Kenichi; Miyauchi, Satoru; Ohki, Hitoshi
 PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 104 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9719072	A1	19970529	WO 1996-JP3440	19961122
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2238765	A1	19970529	CA 1996-2238765	19961122
AU 9675898	A	19970611	AU 1996-75898	19961122
AU 707889	B2	19990722		
CN 1207738	A	19990210	CN 1996-199713	19961122
CN 1119343	C	20030827		
EP 911328	A1	19990428	EP 1996-938533	19961122
EP 911328	B1	20060208		
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NZ 322202	A	20000526	NZ 1996-322202	19961122
TW 402601	B	20000821	TW 1996-85114493	19961122
AT 317393	T	20060215	AT 1996-938533	19961122
PT 911328	T	20060531	PT 1996-938533	19961122
ES 2258780	T3	20060901	ES 1996-938533	19961122

JP 4040091	B2	20080130	JP 1997-519602	19961122
NO 9802297	A	19980722	NO 1998-2297	19980520
US 6121285	A	20000919	US 1998-82155	19980521
US 6184388	B1	20010206	US 1999-397515	19990917
PRIORITY APPLN. INFO.:			JP 1995-304129	A 19951122
			JP 1996-192637	A 19960723
			WO 1996-JP3440	W 19961122
			JP 1997-131413	A 19970521
			JP 1997-140643	A 19970529
			US 1998-82155	A1 19980521
OTHER SOURCE(S):			MARPAT 127:50550	
GI				



I



II

AB The title compds. I [R1 = H, alkyl; R2 = H, (un)substituted alkyl; R3 = H, halo, etc.; R4, R5 = H, OH, etc.; further details on R4, R5 are given; R6, R7 = H, alkyl; A = (CH2)_n; n = 1 - 3; Q = quinoline moiety or analog (generic structures given)] are prepared The title compound II (preparation given)

in vitro showed MIC of 0.1 µg/mL against *Pseudomonas aeruginosa* 32121.

IT 190954-09-7P

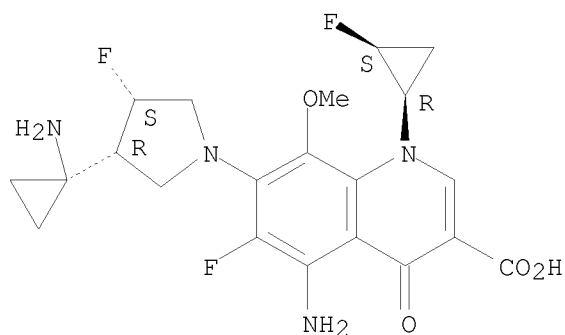
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted aminocycloalkylpyrrolidinylquinolines as medical bactericides)

RN 190954-09-7 CA

CN 3-Quinolinecarboxylic acid, 5-amino-7-[(3R,4S)-3-(1-aminocyclopropyl)-4-fluoro-1-pyrrolidinyl]-6-fluoro-1-[(1R,2S)-2-fluorocyclopropyl]-1,4-dihydro-8-methoxy-4-oxo- (CA INDEX NAME)

Absolute stereochemistry.



L18 ANSWER 10 OF 10 CA COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 125:247632 CA
 ORIGINAL REFERENCE NO.: 125:46285a, 46288a
 TITLE: Preparation and formulation of heterocyclic compounds
 as medical bactericides
 INVENTOR(S): Takemura, Makoto; Kimura, Youichi; Kawakami,
 Katsuhiko; Kimura, Kenichi; Ohki, Hitoshi; Matsushashi,
 Norikazu; Kawato, Haruko
 PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 124 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9623782	A1	19960808	WO 1996-JP208	19960201
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RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2212007	A1	19960808	CA 1996-2212007	19960201
CA 2212007	C	20040914		
JP 08277284	A	19961022	JP 1996-16260	19960201
JP 3745433	B2	20060215		
EP 807630	A1	19971119	EP 1996-901518	19960201
EP 807630	B1	20030507		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
TW 487701	B	20020521	TW 1996-85101378	19960201
EP 1304329	A2	20030423	EP 2003-883	19960201
EP 1304329	A3	20040915		
EP 1304329	B1	20081015		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
AT 239720	T	20030515	AT 1996-901518	19960201
PT 807630	T	20030829	PT 1996-901518	19960201
ES 2198474	T3	20040201	ES 1996-901518	19960201
AT 411309	T	20081015	AT 2003-883	19960201
NO 9703530	A	19971002	NO 1997-3530	19970731
NO 314546	B1	20030407		
FI 9703207	A	19971001	FI 1997-3207	19970801
US 5849757	A	19981215	US 1997-875678	19970804

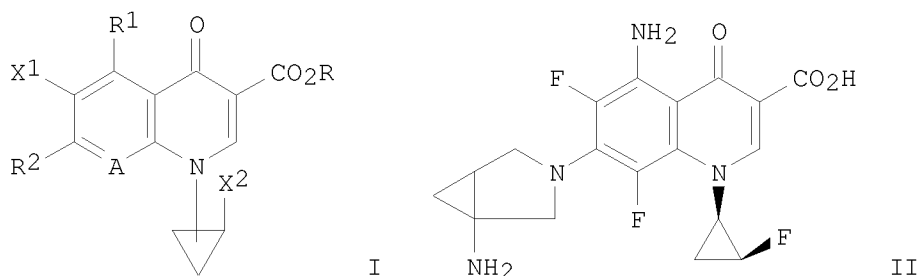
PRIORITY APPLN. INFO.:

JP 1995-15614	A 19950202
JP 1995-19478	A 19950207
JP 1995-19481	A 19950207
EP 1996-901518	A3 19960201
WO 1996-JP208	W 19960201

OTHER SOURCE(S):

MARPAT 125:247632

GI



AB The title compds. I [X1 represents halo or hydrogen; X2 represents halo; R1 represents hydrogen, hydroxy, thiol, halomethyl, amino, alkyl or alkoxy; R2 represents a pyrrolidine moiety (generic structure given); A represents nitrogen, etc.; and R represents hydrogen, Ph, acetoxymethyl, pivaloyloxymethyl, ethoxycarbonyl, choline, dimethylaminoethyl, 5-indanyl, etc.] are prepared The title compound II (preparation given) in vitro showed

MIC values of $\leq 0.003 \mu\text{g/mL}$ and $0.05 \mu\text{g/mL}$ against *E. coli* NIHJ and *P. aeruginosa* 32104, resp.

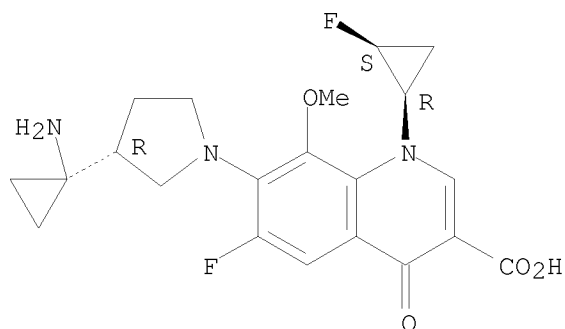
IT 181941-18-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic compds. as medical bactericides)

RN 181941-18-4 CA

CN 3-Quinolinecarboxylic acid, 7-[3-(1-aminocyclopropyl)-1-pyrrolidinyl]-6-fluoro-1-(2-fluorocyclopropyl)-1,4-dihydro-8-methoxy-4-oxo-, [1R-[1 α (R*),2 α]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



10/560,823process

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L3 0 S L1 FULL

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L4 0 S L1

L5 STRUCTURE UPLOADED

L6 0 S L5

FILE 'REGISTRY' ENTERED AT 10:24:33 ON 22 JAN 2009

L7 STRUCTURE UPLOADED

L8 STRUCTURE UPLOADED

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L10 19 S L8 FULL

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L12 40 S L10 FULL

L13 1 S L11 AND L12

FILE 'REGISTRY' ENTERED AT 10:26:08 ON 22 JAN 2009

L14 STRUCTURE UPLOADED

L15 148 S L14 FULL

FILE 'CA' ENTERED AT 10:27:47 ON 22 JAN 2009

L16 88 S L15

L17 1 S L16 AND L12

L18 10 S L10/PREP

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